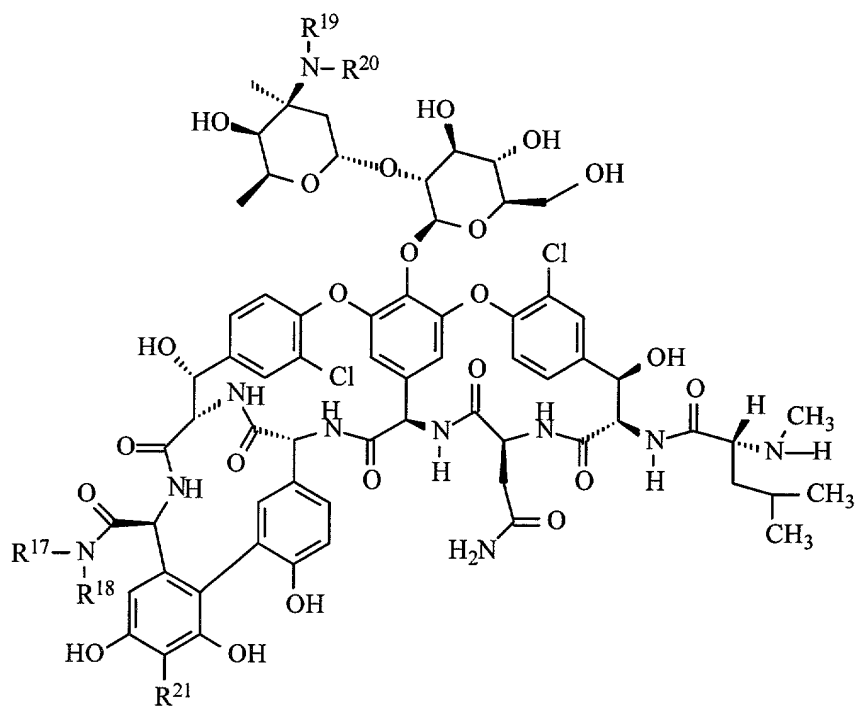


WHAT IS CLAIMED IS:

1. A glycopeptide substituted at the C-terminus with a substituent that comprises two or more carboxy groups; or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof; provided the glycopeptide is not 1) teicoplanin A2 substituted at the C-terminus with a nitrogen-linked glutamic acid, 2) teicoplanin aglycon (TD) substituted at the C-terminus with a nitrogen-linked glutamic acid; or 3) a compound of formula II:



(II)

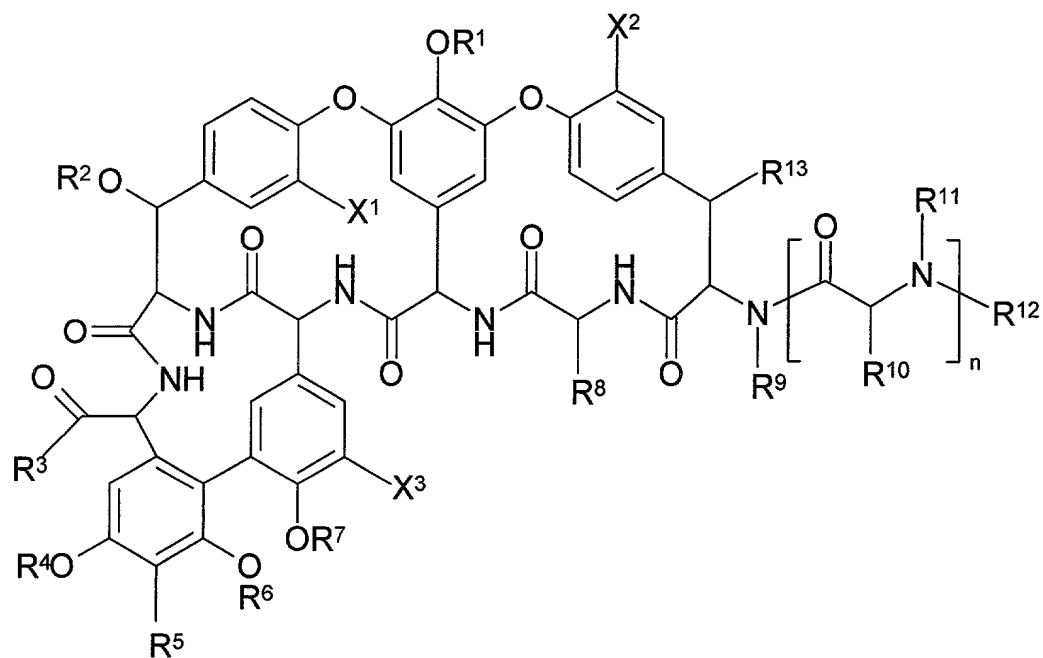
- a) wherein NR¹⁷ is nitrogen-linked aspartic acid; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(decylamino)ethyl; and R²¹ is hydrogen;
- b) wherein NR¹⁷ is nitrogen-linked aspartic acid; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(9-hydroxydecylamino)ethyl; and R²¹ is hydrogen;
- c) wherein R¹⁷ is 1,4-dicarboxybutyl; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(decylamino)ethyl; and R²¹ is hydrogen;

- d) wherein NR¹⁷ is nitrogen-linked aspartic acid; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(decylamino)ethyl; and R²¹ is -CH₂-N-(D-glucamine);
- e) wherein R¹⁷ is nitrogen-linked aspartic acid; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-[4-(4-chlorobenzyloxy)benzylamino]ethyl; and R²¹ is hydrogen;
- f) wherein NR¹⁷ is 5-(2-carboxypyrrolidin-1-ylcarbonyl)-5-(2-carboxy-3-phenylpropylamino)pentylamino; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(decylamino)ethyl; and R²¹ is hydrogen;
- g) wherein NR¹⁷ is nitrogen-linked aspartic acid; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(decylamino)ethyl; and R²¹ is -CH₂-N-(N-CH₃-D-glucamine);
- h) wherein NR¹⁷ is nitrogen-linked aspartic acid; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(decylamino)ethyl; and R²¹ is N-[2-(2-hydroxyethoxy)ethyl]-aminomethyl; or
- i) wherein NR¹⁷ is nitrogen-linked aspartic acid; R¹⁸ is hydrogen; R¹⁹ is hydrogen; R²⁰ is 2-(4-isobutylbenzyl)ethyl; and R²¹ is N-[2-(2-hydroxyethoxy)ethyl]aminomethyl.

2. The glycopeptide of claim 1 wherein the substituent comprises two carboxy groups.

3. The glycopeptide of claim 2 wherein the substituent is a nitrogen-linked aspartic acid or a nitrogen linked glutamic acid.

4. The glycopeptide of claim 1 which is a compound of formula I:



(I)

wherein:

R^1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-R^a-Y-R^b-(Z)_x$; or R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^3 is a nitrogen-linked, oxygen-linked, or sulfur-linked substituent comprising two or more carboxy groups;

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-\text{CH}(R^c)-\text{NR}^cR^c$, $-\text{CH}(R^c)-\text{NR}^cR^c$, $-\text{CH}(R^c)-R^x$, $-\text{CH}(R^c)-\text{NR}^c-\text{Ra}-\text{C}(=\text{O})-R^x$, and $-\text{CH}(R^c)-\text{NR}^c-R^a-Y-R^b-(Z)_x$;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-\text{C}(\text{O})R^d$ and a saccharide group optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-\text{C}(\text{O})R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-\text{Ar}^1-\text{O}-\text{Ar}^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-\text{C}(\text{O})R^d$, $-\text{C}(\text{NH})R^d$, $-\text{C}(\text{O})\text{NR}^cR^c$, $-\text{C}(\text{O})\text{OR}^d$, $-\text{C}(\text{NH})\text{NR}^cR^c$ and $-R^a-Y-R^b-(Z)_x$,

or R¹¹ and R¹² are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R¹³ is selected from the group consisting of hydrogen or -OR¹⁴;

R¹⁴ is selected from hydrogen, -C(O)R^d and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R^x is an N-linked amino saccharide or an N-linked heterocyclic;

X¹, X² and X³ are each independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^c-, -OC(O)NR^c-, -C(=O)-, and -NR^cSO₂NR^c-;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

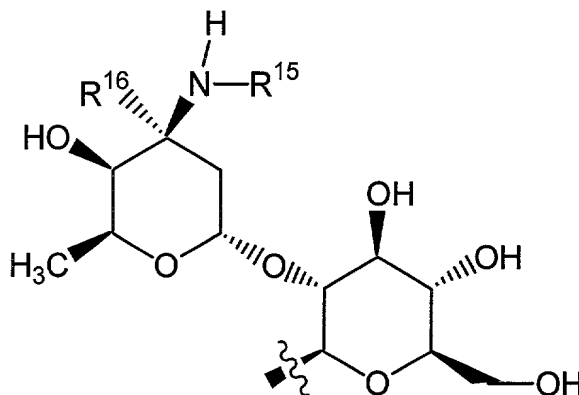
n is 0, 1 or 2; and

x is 1 or 2;

or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof.

5. The glycopeptide of claim 4 wherein R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$.

6. The glycopeptide of claim 4 wherein R^1 is a saccharide group of the formula:

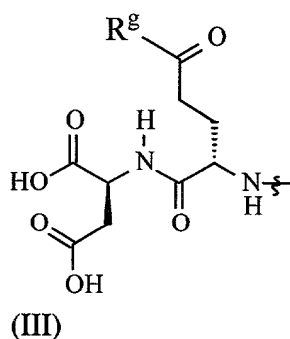


wherein R^{15} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and R^{16} is hydrogen or methyl.

7. The glycopeptide of claim 6 wherein R^{15} is $-\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_9\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_8\text{CH}_3$; $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_7\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_9\text{CH}_3$; $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_{11}\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$; $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3$; $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_{10}\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$; $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3$; $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_3-\text{CH}=\text{CH}-(\text{CH}_2)_4\text{CH}_3$ (*trans*); $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_7\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{S(O)}-(\text{CH}_2)_9\text{CH}_3$; $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_6\text{Ph}$; $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph}$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph}$; $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{Cl-Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-[4-(\text{CH}_3)_2\text{CHCH}_2-]-\text{Ph}$; $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{CF}_3-\text{Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl-Ph})-\text{Ph}$; $-\text{CH}_2\text{CH}_2-\text{S(O)}-\text{CH}_2-4-(4-\text{Cl-Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl-Ph})-\text{Ph}$; $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S(O)}-\text{CH}_2-4-(4-\text{Cl-Ph})-\text{Ph}$;

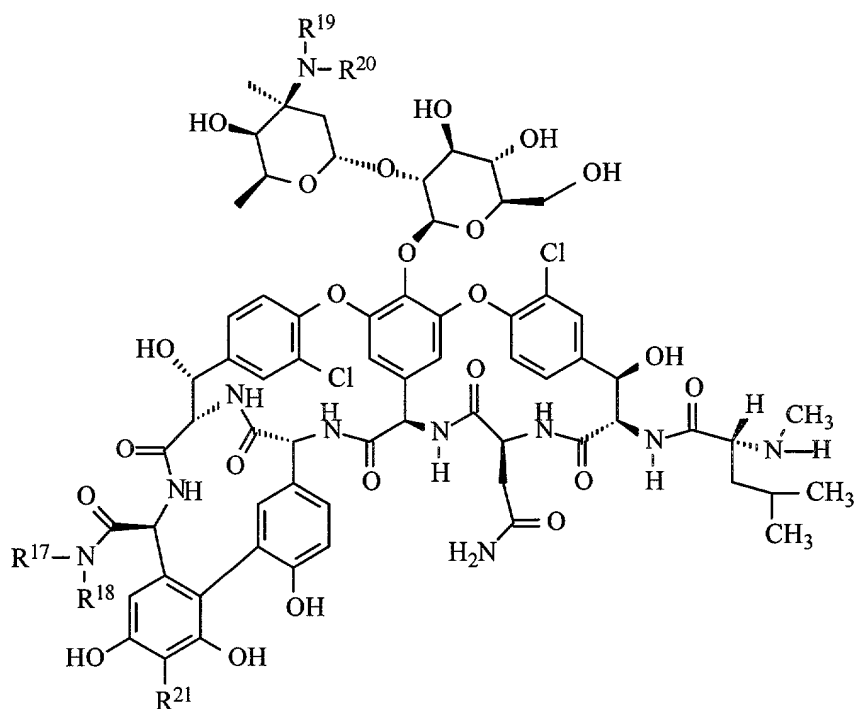
-CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-)-Ph; -CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph; -CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
 -CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph; -CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph;
 or -CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

8. The glycopeptide of claim 6 wherein R³ comprises two carboxy groups.
9. The glycopeptide of claim 8 wherein R³ is a nitrogen-linked aspartic acid or a nitrogen linked glutamic acid.
10. The glycopeptide of claim 6 wherein R³ is a nitrogen-linked radical of formula III:



wherein R^g is a saccharide group.

11. The glycopeptide of claim 10 wherein R^g is N-(D-glucamine) or N-(D-glucosamine).
12. The glycopeptide of claim 4 which is a compound of formula II:



(II)

wherein:

R¹⁷ is a dicarboxy-substituted alkyl group having from 3 to 10 carbon atoms;

R¹⁸ is selected from the group consisting of hydrogen and alkyl;

R¹⁹ is hydrogen;

R²⁰ is -R^a-Y-R^b-(Z)_x;

R²¹ is hydrogen

R^a is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

R^b is selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

Y is selected from the group consisting of sulfur, -S(O)- and -SO₂-;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic; and

x is 1 or 2;

or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof.

13. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.

14. The pharmaceutical composition of Claim 13, which comprises a cyclodextrin.

15. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1.

16. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 4.

17. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 12.

18. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 13.